

## **ABSTRACT OF THE DISCLOSURE**

The present invention features peptides, peptidomimetics and methods of their use for binding to Inhibitor of Apoptosis Proteins (IAPs) in cells and prevents the IAP's from exerting their apoptosis-suppressing function with caspases in the cell. An IAP binding cargo molecule having a binding portion mimicking the structural and biological features of the Smac amino-terminal tetrapeptide that is capable of relieving XIAP-mediated suppression of apoptosis in mammalian cells, and a cargo portion with a functional group having a detectable property or therapeutic function is disclosed.